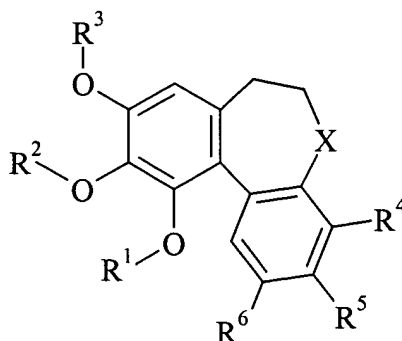


IN THE CLAIMS:

Claim 1 (**canceled**).

Claim 2 (**previously presented**): A compound of the formula IIa:



(IIa)

wherein

X is -CH(R⁷)- wherein R⁷ is hydrogen, hydroxy, C₁₋₇alkoxy, -OR⁸ or -NR⁸R⁹, wherein

R⁸ is a group -Y¹R¹⁰, wherein

Y¹ is a direct bond, -C(O)-, -C(S)-, -S-, -C(O)O-, -C(O)NR¹¹-, -SO₂- or -SO₂NR¹²- (wherein R¹¹ and R¹², which may be the same or different, each independently represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R¹⁰ is selected from one of the following nine groups:

- 1) hydrogen, C₁₋₇alkyl, C₃₋₇cycloalkyl, C₁₋₄alkylY⁸C₁₋₄alkyl wherein Y⁸ is as defined herein, or phenyl,

which alkyl, cycloalkyl, alkylY⁸alkyl or phenyl group may bear one or more substituents selected from: halogeno, amino,

C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, carboxy, carbamoyl,

C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl,

C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, phenyl, nitro, sulphate,

phosphate, Z¹,

wherein Z^1 represents a 5-6 membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} aminoalkyl, C_{1-7} alkanoyl, cyano C_{1-4} alkyl, C_{1-4} alkoxy C_{1-4} alkyl, C_{1-4} alkylsulphonyl C_{1-4} alkyl, C_{1-4} alkyl Z^1 (wherein Z^1 is as defined herein), and a group $-Y^2R^{13}$, wherein

Y^2 is $-NR^{14}C(O)-$ or $-O-C(O)-$ (wherein R^{14} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{13} is C_{1-7} alkyl, C_{3-7} cycloalkyl or a group R^{15} wherein R^{15} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, C_{1-4} hydroxyalkoxy, carboxy, cyano, $-CONR^{16}R^{17}$ and $-NR^{18}COR^{19}$ (wherein R^{16} , R^{17} , R^{18} and R^{19} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl);

- 2) R^{15} wherein R^{15} is as defined herein;
- 3) C_{2-7} alkenyl R^{15} (wherein R^{15} is as defined herein);
- 4) C_{3-7} alkynyl R^{15} (wherein R^{15} is as defined herein);
- 5) Z^1 (wherein Z^1 is as defined herein);
- 6) C_{1-7} alkyl Z^1 (wherein Z^1 is as defined herein);
- 7) C_{1-7} alkyl Y^8Z^1 , wherein

Z^1 is as defined herein and

Y^8 is $-C(O)-$, $-NR^{59}C(O)-$, $-NR^{59}C(O)C_{1-4}alkyl-$, $-C(O)NR^{60}-$ or $-C(O)NR^{60}C_{1-4}alkyl-$, (wherein R^{59} and R^{60} , which may be the same or different, each represents hydrogen, $C_{1-3}alkyl$, $C_{1-3}hydroxyalkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$);

8) $(C_{1-7}alkyl)_cY^9Z^3$, wherein

c is 0 or 1,

Z^3 is an amino acid group and

Y^9 is a direct bond, $-C(O)-$ or $-NR^{61}-$ (wherein R^{61} is hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$); and

9) $C_{1-7}alkylR^{15}$ (wherein R^{15} is as defined herein); and

R^9 is hydrogen, $C_{1-7}alkyl$ or $C_{3-7}cycloalkyl$, which alkyl or cycloalkyl group may bear one or more substituents selected from $C_{1-4}alkoxy$ and phenyl;

R^1 , R^2 and R^3 are each independently hydrogen, PO_3H_2 , sulphate, $C_{3-7}cycloalkyl$, $C_{2-7}alkenyl$, $C_{2-7}alkynyl$, $C_{1-7}alkanoyl$, a group $R^{20}C_{1-7}alkyl$ (wherein R^{20} is phenyl which may bear one or more substituents selected from $C_{1-4}alkyl$, $C_{1-4}alkoxy$, $C_{1-4}aminoalkyl$ and $C_{1-4}hydroxyalkoxy$), $C_{1-7}alkyl$ or $C_{1-7}alkylsulphonyl$,

which alkyl or alkylsulphonyl group may bear one or more substituents selected from: halogeno, amino, $C_{1-4}alkylamino$, $di(C_{1-4}alkyl)amino$, hydroxy, $C_{1-4}alkoxy$, $C_{1-4}alkylsulphanyl$, $C_{1-4}alkylsulphonyl$, $C_{1-4}alkoxycarbonylamino$, $C_{1-4}alkanoyl$, carboxy, phenyl, nitro, sulphate, phosphate and a group $-Y^2R^{21}$, wherein

Y^2 is $-NR^{22}C(O)-$ or $-O-C(O)-$ (wherein R^{22} represents hydrogen, $C_{1-3}alkyl$ or $C_{1-3}alkoxyC_{2-3}alkyl$) and

R^{21} is $C_{1-7}alkyl$, $C_{3-7}cycloalkyl$ or a group R^{23} wherein R^{23} is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected

from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR²⁴R²⁵ and -NR²⁶COR²⁷ (wherein R²⁴, R²⁵, R²⁶ and R²⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl);

with the proviso that at least two of R¹, R² and R³ are C₁₋₇alkyl;

R⁴ is hydrogen, cyano, halogeno, nitro, amino, hydroxy, C₁₋₇alkoxy, C₁₋₇thioalkoxy, C₁₋₇alkanoyl or C₁₋₇alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y³R²⁸, wherein

Y³ is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl);

R⁵ and R⁶ are each independently selected from hydrogen, -OPO₃H₂, phosphonate, cyano, halogeno, nitro, amino, carboxy, carbamoyl, hydroxy, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇thioalkoxy, C₁₋₇alkyl,

which alkyl group may bear one or more substituents selected from: halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄alkoxy,

C₁₋₄alkylsulphanyl, C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, sulphate, phosphate and a group -Y³R²⁸, wherein

Y³ is -NR²⁹C(O)- or -O-C(O)- (wherein R²⁹ represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R²⁸ is C₁₋₇alkyl, C₃₋₇cycloalkyl or a group R³⁰ wherein R³⁰ is a phenyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR³¹R³² and -NR³¹COR³² (wherein R³¹, R³², R³³ and R³⁴, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl), and

a group -Y⁴R³⁵, wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -SO₂-, -OSO₂-, -NR³⁶-, -C₁₋₄alkylNR³⁶-, -C₁₋₄alkylC(O)-, -NR³⁷C(O)-, -OC(O)O-, -C(O)NR³⁸- or -NR³⁹C(O)O- (wherein R³⁶, R³⁷, R³⁸ and R³⁹, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, sulphate, hydroxy, amino, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkylamino, di(C₁₋₇alkyl)amino, aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, C₁₋₇alkanoylaminoC₁₋₇alkyl, di(C₁₋₇alkyl)aminoC₁₋₇alkylamino, C₁₋₇alkylphosphate, C₁₋₇alkylphosphonate, C₁₋₇alkylcarbamoylC₁₋₇alkyl,

which alkyl, alkoxy, alkanoyl, alkylamino, dialkylamino, aminoalkylamino, alkylaminoalkylamino, alkanoylaminoalkyl, dialkylaminoalkylamino, alkylphosphate, alkylphosphonate or alkylcarbamoylalkyl, may bear one or more substituents selected from: halogeno, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, hydroxy, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkylsulphanyl,

C₁₋₄alkylsulphonyl, C₁₋₄alkoxycarbonylamino, C₁₋₄alkanoyl, carboxy, phenyl, nitro, sulphate, phosphate and a group -Y⁵R⁴⁰, wherein

Y⁵ is -NR⁴¹C(O)-, -C(O)NR⁴²-, -C(O)-O- or -O-C(O)- (wherein R⁴¹ and R⁴² which may be the same or different each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R⁴⁰ is C₁₋₇alkyl, C₃₋₇cycloalkyl, carboxyC₁₋₇alkyl or a group R⁴³ wherein R⁴³ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, C₁₋₄hydroxyalkoxy, carboxy, cyano, -CONR⁴⁴R⁴⁵ and -NR⁴⁶COR⁴⁷ (wherein R⁴⁴, R⁴⁵, R⁴⁶ and R⁴⁷, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl),

R⁴⁸, wherein R⁴⁸ is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, nitro, halogeno, amino, C₁₋₄alkyl, C₁₋₄haloalkyl, C₁₋₄alkoxy, C₁₋₄hydroxyalkyl, C₁₋₄aminoalkyl, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, di(C₁₋₄alkyl)aminoC₁₋₄alkyl, di(C₁₋₄hydroxyalkyl)aminoC₁₋₄alkyl, di(C₁₋₄aminoalkyl)aminoC₁₋₄alkyl, C₁₋₄hydroxyalkoxy, carboxy, C₁₋₄carboxyalkyl, phenyl, cyano, -CONR⁴⁹R⁵⁰, -NR⁵¹COR⁵² (wherein R⁴⁹, R⁵⁰, R⁵¹ and R⁵², which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and C₁₋₄alkylR⁵³ (wherein R⁵³ is as defined herein),

C₁₋₇alkylR⁴⁸ (wherein R⁴⁸ is as defined herein),

R^{53} , wherein R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} carboxyalkyl, C_{1-4} aminoalkyl, $di(C_{1-4}alkyl)aminoC_{1-4}alkyl$, $C_{1-4}alkoxyC_{1-4}alkyl$, $C_{1-4}alkylsulphonylC_{1-4}alkyl$ and R^{54} , wherein R^{54} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, $C_{1-4}alkoxyC_{1-4}alkyl$ and $C_{1-4}alkylsulphonylC_{1-4}alkyl$, or

$(CH_2)_aY^6(CH_2)_bR^{53}$, wherein

R^{53} is as defined herein, a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

Y^6 represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷- (wherein R^{55} , R^{56} , and R^{57} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or $C_{1-3}alkoxyC_{2-3}alkyl$),

and wherein one or more of the $(CH_2)_a$ or $(CH_2)_b$ groups may bear one or more substituents selected from hydroxy, amino and halogeno;

with the proviso that R^5 is not hydroxy, alkoxy, substituted alkoxy (wherein R^5 is Y^4R^{35} and Y^4 is -O- and R^{35} is $C_{1-7}alkyl$ bearing one or more substituents selected from the list given herein), -OPO₃H₂, -O-C₁₋₇alkanoyl or benzyloxy;

with the further proviso that at least one of R^5 or R^6 is a group - Y^4R^{35} (wherein Y^4 and R^{35} are as defined herein) but with the further provisos

that when R^5 is - Y^4R^{35} and R^6 is hydrogen, hydroxy, methoxy or methoxycarbonyl, - Y^4R^{35} is not selected from cases wherein:

Y^4 is $-C(O)-$, $-OC(O)-$, $-O-$, $-SO-$, $-OSO_2-$, $-NR^{36}-$, $-NR^{37}C(O)-$ or $-C(O)NR^{38}-$ (wherein R^{36} , R^{37} and R^{38} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and

R^{35} is a glycine, valine or lysine group, a dipeptide of glycine and valine groups, C_{1-7} alkyl, C_{1-7} alkoxy, C_{1-7} alkanoyl, (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno, hydroxy, and a group $-Y^5R^{40}$ (wherein Y^5 is $-O-C(O)-$ and R^{40} is C_{1-7} alkyl), or R^{48} , wherein R^{48} is a tetrazolyl group (which may or may not be substituted as herein defined), a phenyl group or a benzyl group which phenyl or benzyl group may bear one or more substituents selected from C_{1-4} alkyl; and

that when R^6 is $-Y^4R^{35}$ and R^5 is hydrogen, hydroxy, methoxy or methoxycarbonyl, $-Y^4R^{35}$ is not selected from cases wherein:

Y^4 is $-C(O)-$, $-O-$ or $-OSO_2-$ and

R^{35} is C_{1-7} alkyl, C_{1-7} alkoxy (which alkyl, alkoxy or alkanoyl may bear one or more substituents selected from: halogeno), R^{48} (wherein R^{48} is a benzyl group which benzyl group may bear one or more substituents selected from C_{1-4} alkyl), or R^{53} (wherein R^{53} is piperidinyl);

or a salt thereof.

Claim 3 (**canceled**).

Claim 4 (**previously presented**): A compound according to claim 2 wherein

X is $-CH(R^7)-$, wherein

R^7 is $-OR^8$ or $-NR^8R^9$, wherein R^8 is a group $-Y^1R^{10}$ (wherein Y^1 is $-C(O)-$, $-C(O)O-$ or $-C(O)NR^{11}-$ (wherein R^{11} represents hydrogen, C_{1-3} alkyl or C_{1-3} alkoxy C_{2-3} alkyl) and R^{10} is as defined in claim 2) and R^9 is as defined in claim 2.

Claim 5 (**previously presented**): A compound according to claim 2 wherein R¹, R² and R³ are each methyl.

Claim 6 (**previously presented**): A compound according to claim 2 wherein R⁴ is hydrogen.

Claim 7 (**previously presented**): A compound according to claim 2 wherein R⁶ is hydrogen, halogeno, amino, carboxy, hydroxy, C₁₋₇alkoxy or a group Y⁴R³⁵, wherein

Y⁴ is -C(O)-, -O- or -OSO₂- and

R³⁵ is C₁₋₇alkyl, C₁₋₇alkoxy (which alkyl or alkoxy may bear one or more substituents selected from halogeno), R⁴⁸ (wherein R⁴⁸ is a benzyl group) or R⁵³ (wherein R⁵³ is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms selected independently from O, S and N).

Claim 8 (**previously presented**): A compound according to claim 2 wherein R⁶ is hydrogen, C(O)OCH₃ or methoxy.

Claim 9 (**previously presented**): A compound according to claim 2 wherein R⁵ is hydrogen, halogeno, amino, carboxy, carbamoyl, C₁₋₇alkanoyl, C₁₋₇thioalkoxy, or a group -Y⁴R³⁵, wherein

Y⁴ is -C(O)-, -OC(O)-, -O-, -SO-, -OSO₂-, -NR³⁶-, -NR³⁷C(O)- or -C(O)NR³⁸-

(wherein R³⁶, R³⁷ and R³⁸, which may be the same or different, each represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl) and

R³⁵ is a sugar moiety, a mono-peptide, a di-peptide, a tri-peptide, a tetra-peptide, C₁₋₇alkyl, C₁₋₇alkoxy, C₁₋₇alkanoyl, C₁₋₇alkanoylaminoC₁₋₇alkyl,

which alkyl, alkoxy, alkanoyl, alkanoylaminoalkyl may bear one or more substituents selected from: halogeno, amino, hydroxy, carboxy, and a group $-Y^5R^{40}$, wherein

Y^5 is $-C(O)-O-$ or $-O-C(O)-$ and

R^{40} is C_{1-7} alkyl or a group R^{43} wherein R^{43} is a benzyl group,

R^{48} , wherein R^{48} is a phenyl group, a benzyl group or a 5-10-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-4 heteroatoms selected independently from O, N and S, which phenyl, benzyl or aromatic heterocyclic group may bear one or more substituents selected from

hydroxy, fluoro, amino, C_{1-4} alkoxy, C_{1-4} hydroxyalkyl, C_{1-4} aminoalkyl, C_{1-4} alkylamino, $di(C_{1-4}alkyl)amino$, $di(C_{1-4}alkyl)aminoC_{1-4}alkyl$, $di(C_{1-4}hydroxyalkyl)aminoC_{1-4}alkyl$, $di(C_{1-4}aminoalkyl)aminoC_{1-4}alkyl$, $C_{1-4}hydroxyalkoxy$, carboxy, $C_{1-4}carboxyalkyl$, cyano, $-CONR^{49}R^{50}$, $-NR^{51}COR^{52}$ (wherein R^{49} , R^{50} , R^{51} and R^{52} , which may be the same or different, each represents hydrogen, C_{1-3} alkyl or $C_{1-3}alkoxyC_{2-3}alkyl$) and $C_{1-4}alkylR^{53}$ (wherein R^{53} is as defined herein), $C_{1-7}alkylR^{48}$ (wherein R^{48} is as defined herein), R^{53} , wherein

R^{53} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, fluoro, chloro, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, $C_{1-4}carboxyalkyl$, $C_{1-4}aminoalkyl$, $di(C_{1-4}alkyl)aminoC_{1-4}alkyl$, $C_{1-4}alkoxyC_{1-4}alkyl$, $C_{1-4}alkylsulphonylC_{1-4}alkyl$ and R^{54} , wherein R^{54} is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from

oxo, hydroxy, halogeno, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, C_{1-4} alkoxy, $C_{1-4}alkoxyC_{1-4}alkyl$ and $C_{1-4}alkylsulphonylC_{1-4}alkyl$, or

$(\text{CH}_2)_a\text{Y}^6(\text{CH}_2)_b\text{R}^{53}$, wherein

R^{53} is as defined herein,

a is 0, or an integer 1-4,

b is 0 or an integer 1-4 and

Y^6 represents a direct bond, -O-, -C(O)-, -NR⁵⁵-, -NR⁵⁶C(O)- or -C(O)NR⁵⁷-

(wherein R^{55} , R^{56} , and R^{57} , which may be the same or different, each

represents hydrogen, C₁₋₃alkyl or C₁₋₃alkoxyC₂₋₃alkyl),

and wherein one or more of the $(\text{CH}_2)_a$ or $(\text{CH}_2)_b$ groups may bear one or more substituents selected from hydroxy, amino and halogeno;

with the proviso that R^5 is not alkoxy, substituted alkoxy (wherein R^5 is Y^4R^{35} and Y^4 is -O- and R^{35} is C₁₋₇alkyl bearing one or more substituents selected from the list given herein), -O-C₁₋₇alkanoyl or benzyloxy.

Claim 10 (original): A compound according to claim 2 selected from:

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[(2*R*)-2,6-diaminohexanoyl]amino}propanoate,

(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[(2-aminoacetyl)amino]propanoate,

N-([(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxymethyl)-2-morpholinoacetamide,

(2*S*,3*S*,4*S*,5*R*,6*R*)-6-([(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy)-3,4,5-trihydroxytetrahydro-2*H*-pyran-2-carboxylic acid,

N-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

N-[(5*S*)-3-(4-{morpholinomethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide,

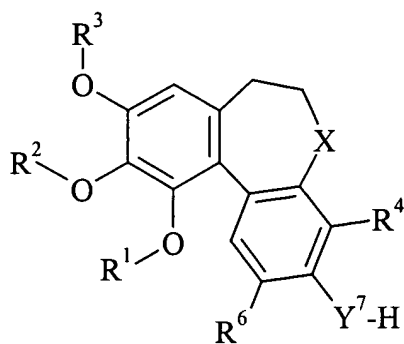
(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl
3-[4-methylpiperazin-1-ylcarbonyl]propanoate,
5-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxycarbonyl]pentanoic acid,
4-(3-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-3-yl]oxy-3-oxopropyl)benzoic acid and
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,
and salts thereof.

Claim 11 (**original**): A compound according to claim 2 selected from
N-[(5*S*)-3-(4-{4-methylpiperazin-1-ylmethyl}phenylcarbonyloxy)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]cyclohepten-5-yl]acetamide and
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-3-hydroxypropanamide,
and salts thereof.

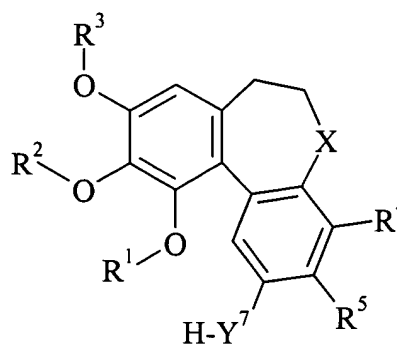
Claim 12 (**original**): A compound according to claim 2 selected from
(2*S*)-*N*-[(5*S*)-5-(acetylamino)-9,10,11-trimethoxy-6,7-dihydro-5*H*-dibenzo[*a,c*]-cyclohepten-3-yl]-2-amino-5-[(2-nitroethanimidoyl)amino]pentanamide
and salts thereof.

Claim 13 (**currently amended**): A process for the manufacture of a compound of formula IIa as defined in claim 2 which comprises:

- (a) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III or IV:



(III)



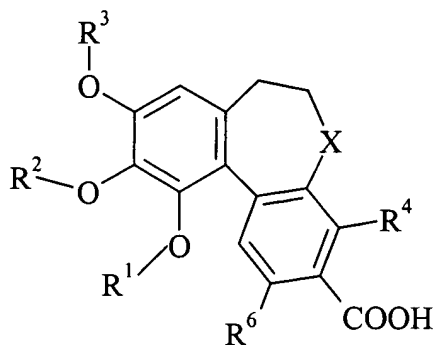
(IV)

(wherein X, R¹, R², R³, R⁴, R⁵, R⁶ are as defined in claim 2 and Y⁷ is -O- or -NH-), by acylation or coupling reactions;

- (b) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkoxy which may be substituted as defined in claim 2 and Y⁴ is a group -OC(O)- or -NHC(O)-), the reaction of a compound of formula III and IV, by acylation reactions;
- (c) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is aminoC₁₋₇alkylamino, C₁₋₇alkylaminoC₁₋₇alkylamino, di(C₁₋₇alkyl)aminoC₁₋₇alkylamino and may be substituted as defined in claim 2, or is R⁵³ (wherein R⁵³ is as defined in claim 2) and Y⁴ is a group -OC(O)- or -NHC(O)-), can be prepared by the reaction of a compound of formula III or IV, acylation reactions;
- (d) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is a sugar moiety and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or ~~IV~~ IV, glycosylation reactions;
- (e) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is sulphate and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or IV, by sulphonylation reactions;
- (f) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is a group Y⁴R³⁵ (wherein R³⁵ is C₁₋₇alkylphosphate and may be substituted as defined

in claim 2 and Y⁴ is a group -O- or -NH-), the reaction of a compound of formula III or IV, by phosphorylation reactions;

- (g) for the preparation of compounds of formula IIa and salts thereof in which R⁵ is amino the reaction of a carboxylic acid of formula V:



(V)

(wherein X, R¹, R², R³, R⁴ and R⁶ are as defined in claim 2) via Curtius rearrangement and hydrolysis; and

- (h) for the preparation of compounds of formula IIa and salts thereof in which R⁵ or R⁶ is chloro the reaction of a compound of formula III or IV by the Sandmeyer reaction; and when a pharmaceutically acceptable salt of a compound of formula IIa is required, reaction of the compound obtained with an acid or base whereby to obtain the desired pharmaceutically acceptable salt.

Claim 14 (original): A pharmaceutical composition which comprises as active ingredient a compound of formula IIa as defined in claim 2 or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable excipient or carrier.

Claim 15 (**currently amended**): A method for producing a vascular damaging effect in a warm-blooded animal, ~~such as a human being,~~ in need thereof ~~of such treatment~~ which comprises administering to said animal an effective amount of a compound of formula IIa or a pharmaceutically acceptable salt thereof as defined in claim 2.